(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 15 July 2004 (15.07.2004)

PCT

(10) International Publication Number: WO 2004/058746 A1

(51) International Patent Classification⁷: C07D 405/12, A61K 31/404, A61P 25/00

(21) International Application Number: PCT/EP2003/013373

(22) International Filing Date:

27 November 2003 (27.11.2003)

(25) Filing Language: German

(26) Publication Language: German

(30) Priority Data: 02028596.1 20 December 2002 (20.12.2002) EP

(71) Applicant (for all designated States except US): MERCK PATENT GMBH [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): HEINRICH, Timo [DE/DE]; Franz-Gruber-Strasse 30, 64823 Gross-Umstadt (DE). BÖTTCHER, Henning [DE/DE]; Stiftstrasse 12, 64287 Darmstadt (DE). SCHIEMANN, Kai [DE/DE]; Am Rödergraben 8, 64342 Seeheim-Jugenheim (DE). HÖLZE-MANN, Günter [DE/DE]; Gutenbergstrasse 6B, 64342 Seeheim-Jugenheim (DE). VAN AMSTERDAM, Christoph [DE/DE]; Schepp-Allee 47, 64295 Darmstadt (DE). BARTO-SZYK, Gerd [DE/DE]; Kreuzstrasse 57, 64331 Weiterstadt (DE). GREINER, Hartmut DE/DE]; Kreuzstrasse 57, 64331 Weiterstadt (DE). SEYFRIED, Christoph [DE/DE]; Mathildenstrasse 6, 64342 Seeheim-Jugenheim (DE). BRUNET, Michel[FR/FR]; 17, Allée des Bleuets, F-69780

Toussien (FR). **ZEILLER**, **Jean** [FR/FR]; 25, Rue Laurent Carle, F-69008 Lyon (FR). **BERTHELON**, **Jean** [FR/FR]; 8, Rue du Panorama, F-69003 Lyon (FR).

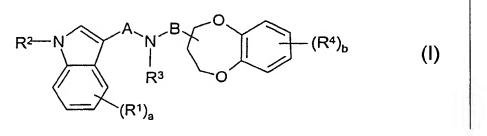
- (74) Common Representative MERCK PATENT GMBH; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (81) Designated states (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated states (regional): ARIPO Patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European Patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED BENZODIOXEPINES



(57) Abstract: Benzodioxepines of the formula (I) and physiologically tolerated salts and solvates thereof, in which R^1 , R^2 , R^3 , R^4 , A, B, a and b have the meanings indicated in Claim 1, are ligands of the 5HT1A receptors and/or the 5HT4 receptors with simultaneously strong serotonin reuptake inhibition. They can be employed for the treatment and prophylaxis of various diseases.